IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re of Application of:	Abe et al.		
Application No.:	10/693,315	Examiner:	Mark L. Berch
Filed:	May 1, 2003	Art Unit:	1624
For:	PROCESS OF PREPARING 6-ALKYLIDENE PENEM DERIVATIVES		
Confirmation No:	1495		
Customer Number:	25291		

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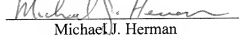
APPEAL BRIEF UNDER 37 C.F.R. § 41.37



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Reg. No. 51,289

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I. REAL PARTY IN INTEREST

The real party in interest is Wyeth, the assignee of record.

II. RELATED APPEALS AND INTERFERENCES

There are no pending appeals or interferences that would directly or indirectly affect or have a bearing on the Board's decision in this appeal.

III. STATUS OF CLAIMS

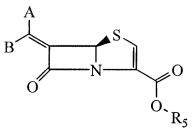
Claims 1-8, 12, 31 and 41-42 have been canceled. The remaining claims in the application, claims 9-11, 13-30 and 32-40 have been rejected and are being appealed herein.

IV. STATUS OF AMENDMENTS

Claims 9-11, 13-30 and 32-40 were finally rejected in a Final Office Action issued June 7, 2008. No amendments were filed after the final office action.

V. SUMMARY OF CLAIMED SUBJECT MATTER

1. The claimed invention is directed to a process for the preparation of compounds of the formula I



I

wherein one of A and B denotes hydrogen and the other is an optionally substituted aryl (Specification at page 4, line 1), R_5 is H, $_{1\text{-}6}$ alkyl, $C_{5\text{-}6}$ cycloalkyl, or $CHR_3OCOC_{1\text{-}6}$ alkyl (Specification at page 4, lines 9-11).

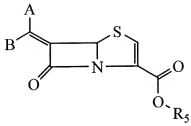
VI. GROUNDS OF REJECTION TO BE REVIEWED ON APPEAL

Whether claims 9-11, 13-30 and 32-34 are unpatentable on the basis of obviousness type double patenting over claims 1-20 of U.S. Patent No. 7,018,997 B2 (hereinafter referred to as "the '997 patent").

VII. ARGUMENTS

Claims 9-11, 13-30 and 32-34 are not subject to obviousness type double patenting over claims 1-20 of U.S. Patent No. 7,018,997 B2.

The presently claimed invention is directed to a process for the preparation of compounds of the formula I



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The '997 patent claims 6-alkylidene-penem compounds, method of treatment of bacterial infection or disease and a pharmaceutical composition. The present application contains claims to a process of preparing 6-alkylidene-penem derivatives. Appellants submit that the process of preparing compounds of the present invention is not obvious from the claims compounds, method of treatment, or pharmaceutical composition of the '997 patent. The present application teaches a specific process for preparing the compounds disclosed therein. The present application does not unjustly or improperly extend the term of the '997 patent.

For a claim to be unpatentable based on obviousness-type double patenting, it must be obvious from the language of the claims in the cited patent. A claim to a compound does not make a claim to a method for making the compound obvious unless it discloses or suggests the process as a whole. If this were not true, then a compound claim would make unpatentable all claims to processes for making the compound; to the contrary, a new process for making an old compound is patentable subject matter. In the present case, the patented compound claims do not disclose or suggest the process of the pending claims.

The Examiner has cited several court decisions to support his rejection, but has misinterpreted or misapplied the case law, as is explained below.

The Examiner has cited the 1888 Supreme Court case of *Mosler Safe & Lock v. Mosler, Bahmann & Co.* However, this was a "purely mechanical case" in which the court

found that the claimed method was "an old method" which involved "no exercise of the inventive faculty". In 1894, the Supreme Court clarified that *Mosler* must be confined to its exact facts, and stated that "a single invention may include both the machine and the manufacture it creates, and in such cases, if the inventions are really separable, the inventor may be entitled to a monopoly of each." *Miller v. Eagle Mfg. Co.*, 151 U.S. 186, 197, 14 S.Ct. 310, 38 L.Ed. 121 (1894).

Unlike in *Mosler*, the process at issue here is not purely mechanical. Nor is there any argument that the process is not new or that the process is merely the use of an old method that requires no inventive faculty. Neither *Mosler* nor any other Supreme Court case broadly prohibits an inventor from receiving a process patent after earlier obtaining a product patent where the inventor could have presented the claims in a single patent. *Takeda Pharmaceutical Co., Ltd. v. Dudas*, 511 F.Supp.2d 81, 84 U.S.P.Q.2d 1365, (D.D.C. Sep 18, 2007).

The Examiner has cited *In re Freeman*, in which product claims were found to be obvious based on process claims. However, in that case the product was defined by the process, i.e., they were product by process claims; this is not the case here.

The Examiner also states that it does not matter whether the compound claim or the process claim is patented first. Appellants strongly disagree. A process for making compound X must disclose compound X, but a claim to compound X need not disclose a process. In the present case, the cited patent claims do not teach or suggest the presently claimed process.

The Examiner's citation of *Ex parte MacAdams* is also not on point. The claims that were rejected were claims for a method of using a product in the manner in which the product was designed to be used. The case contains *dicta* that, "method claims drawn to the generally conventional method of making a composition are obvious." However, there is no generally conventional process for making the compounds of the present invention. Appellant's method was the result of extensive research, and is not obvious merely from knowledge of the compound to be made, but that is not to say that there are not other methods of producing these compounds.

The Examiner has cited additional cases (*Geneva Pharmaceuticals*, and *In re Boylan*) which are also relating to methods of using rather than methods of making, and has stated

that he cannot see any reason why these two situations should be treated differently. However, they are different. The Examiner has erroneously used a rote analysis, rather than applying the proper standard for obviousness type double patenting.

In a proper obviousness analysis, the Examiner should consider the differences between the patent claims and the pending claims and determine whether the differences are obvious from the patent claims. In this case, the process steps of the pending claims represent a significant difference from the patent claims; the Examiner has not provided any reasons to support his assertion that these process steps are obvious from the patent claims. Appellants claim a specific multi-step process. In view of the known unpredictability of the chemical arts, it is certainly not obvious from the mere knowledge of the end product.

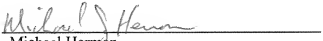
Furthermore, it is highly likely that the compound could be made by other processes. Nothing in the compound claims would lead one of ordinary skill to the particular process claimed by Appellants.

VIII. CONCLUSION

For the reasons set forth above, Appellants request that the Board reverse the outstanding rejection, remand the application to the Examiner, and direct the Examiner to issue a Notice of Allowance.

The Director is hereby authorized to charge any fees due in connection with this Brief, or credit any overpayment of the same to Wyeth Deposit Account No. 01-1425.

Sincerely,



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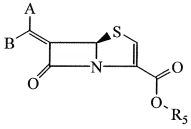
IX. CLAIMS APPENDIX

9. A process for the preparation of compounds of the formula **I**



I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R_2, heteroaryl optionally substituted with one or two R_2, fused bicyclic heteroaryl optionally substituted with one or two R_2, fused tricyclic heteroaryl optionally substituted with one or two R_2, cycloalkyl optionally substituted with one or two R_2, alkyl optionally substituted with one or two R_2, alkenyl optionally substituted with one or two R_2, alkynyl optionally substituted with one or two R_2, saturated or partially saturated heteroaryl optionally substituted with one or two R_2;

R_5 is H, C_{1-6}alkyl, C_{5-6}cycloalkyl, or CHR_3OCOC_{1-6}alkyl;

R_1 is H, optionally substituted -C_{1-6}alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted –C_{3-7} cycloalkyl, optionally substituted -C_{3-6}alkenyl, optionally substituted -C_{1-6}alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C_{1-6}per fluoro alkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C_{1-6}alkyl, optionally substituted -(C=O)C_{3-6}cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C_{1-6}alkyl aryl, optionally substituted C_{1-6} alkyl heteroaryl, optionally substituted aryl-(C_{1-6}alkyl), optionally substituted heteroaryl-C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon

atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted (C_{1-6}alkyl)aryloxyheteroaryl , optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl having 1 to 2 double bonds, optionally substituted C_{2-6}alkynyl having 1 to 2 triple bonds, halogen, cyano, $N-R_6R_7$, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{3-6}alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6} alkylamino (C_{1-6}alkoxy), alkylene dioxy, optionally substituted aryloxy-C_{1-6}alkyl amine, C_{1-6} perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C_{1-6} alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_3 is hydrogen, C_{1-6}alkyl, C_{5-6}cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6} alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S=(O)_n$ where n = 0-2;

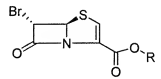
said process comprising:

(a) condensing an appropriately substituted aldehyde **17**

A'-CHO

17

wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



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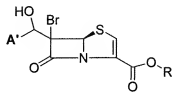
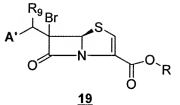
wherein R is p-nitrobenzyl
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product 18



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(R_8)Cl$ or $(R_8)_2O$, or with tetrahalomethane, $C(X_1)_4$, and triphenyl phosphine, to form intermediate compound **19**



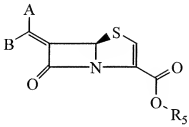
19

wherein R_8 is alkylSO_2, arylSO_2, alkylCO, or arylCO; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR_8; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process, wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 and hydrogenating over a catalyst.

10. The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.

11. A process for the preparation of compounds of the formula **I**



I

wherein:

one of A and B denotes hydrogen and the other is a fused bicyclic heteroaryl optionally substituted with one or two R_2, or a fused tricyclic heteroaryl optionally substituted with one or two R_2;

R_5 is H, C_{1-6}alkyl, C_{5-6}cycloalkyl, or CHR_3OCOC_{1-6}alkyl;

R_1 is H, optionally substituted -C_{1-6}alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C_{3-7} cycloalkyl, optionally substituted -C_{3-6}alkenyl, optionally substituted -C_{1-6}alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C_{1-6}perfluoro alkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -$(C=O)C_{1-6}$ alkyl, optionally substituted -$(C=O)C_{3-6}$cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C_{1-6}alkyl aryl, optionally substituted C_{1-6} alkyl heteroaryl, optionally substituted aryl-(C_{1-6}alkyl), optionally substituted heteroaryl-C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted (C_{1-6}alkyl)aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl having 1 to 2 double bonds, optionally substituted C_{2-6}alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R_6R_7, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{3-6}alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6} alkylamino(C_{1-6}alkoxy), alkylene dioxy, optionally substituted aryloxy-C_{1-6}alkyl amine, C_{1-6} perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino,

optionally substituted C_{1-6} alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_3 is hydrogen, C_{1-6}alkyl, C_{5-6}cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6} alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S=(O)_n$ where n = 0-2;

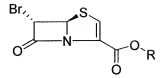
said process comprising:

(a) condensing an aldehyde **17**

A'-CHO

17

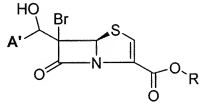
wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**



16

wherein R is p-nitrobenzyl

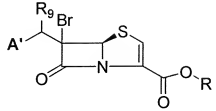
in the presence of a Lewis acid and an organic tertiary amine base, at a temperature of -10°C to -40°C to form an intermediate aldol product **18**



18

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(R_8)Cl$ or $(R_8)_2O$, or with tetrahalomethane, $C(X_1)_4$, and triphenyl phosphine, to form intermediate compound **19**

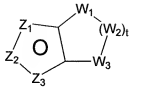


19

wherein R_8 is alkylSO$_2$, arylSO$_2$, alkylCO, or arylCO; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR_8; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process.

13. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl group having the structural formula:



16-A

wherein Z_1, Z_2, and Z_3 are independently CR_2, N, O, S or N-R_1 provided one of Z_1, Z_2, or Z_3 is carbon and is bonded to the remainder of the molecule as shown in formula **I**;

W_1, W_2 and W_3 are independently CR_4R_4, S, SO, SO_2, O, N-R_1, C=O; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

R_1 is H, optionally substituted -C_{1-6}alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C_{3-7} cycloalkyl, optionally substituted -C_{3-6}alkenyl, optionally substituted -C_{3-6}alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C_{1-6}per fluoro alkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -(C=O)aryl, optionally substituted -(C=O)C_{1-6}alkyl, optionally substituted -(C=O)C_{3-6} cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted -C_{1-6}alkyl aryl, optionally substituted -C_{1-6}alkyl heteroaryl, optionally substituted aryl--C_{1-6}alkyl, optionally substituted heteroaryl--C_{1-6}alkyl, optionally substituted -C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

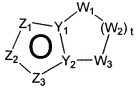
optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyheteroaryl , optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl having 1 to 2 double bonds, optionally substituted C_{2-6}alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R_6R_7, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{3-6}alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6}alkylamino- C_{1-6}alkoxy, alkylene dioxy, optionally substituted aryloxy- C_{1-6}alkyl amine, C_{1-6}perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C_{1-6}alkyl aryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkyl heteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_4 is H, optionally substituted C_{1-6}alkyl, one of R_4 is OH, C_{1-6}alkoxy, -S-C_{1-6}alkyl, $COOR_6$, -NR_6R_7, -$CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)n where n =0 to 2, and N-R_1; and

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6}alkyl heteroaryl, or R_6 and R_7 together with N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and S=$(O)_n$ where n = 0-2.

14. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl group having the structural formula:



16-B

wherein

Z_1, Z_2 and Z_3 are independently CR_2, N, O, S or N-R_1 provided one of Z_1 –Z_3 is carbon and is bonded to the remainder of the molecule;

W_1, W_2 and W_3 are independently CR_4R_4, S, SO, SO_2, O, or N-R_1;

t= 1 to 4;

Y_1 and Y_2 are independently N or C; with the proviso that at least one of Y_1 and Y_2 is C, with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R_1 is H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C_{5-7} cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C_{3-6}alkynyl with the proviso that neither the double bond nor the triple bond should be present at

the carbon atom which is directly linked to N; optionally substituted C_{1-6}perfluoro alkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=O (C_{1-6}) alkyl, optionally substituted -(C=O)C_{5-6}cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C_{1-6}alkylaryl, optionally substituted C_{1-6}alkyl heteroaryl, optionally substituted aryl- C_{1-6}alkyl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkylaryloxyaryl, optionally substituted C1-6alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

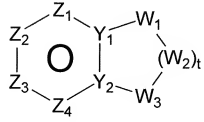
R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl, optionally substituted C_{2-6}alkynyl, halogen, cyano, $N\text{-}R_6R_7$, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{3-6}alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6}alkylamino- C_{1-6}alkoxy, alkylene dioxy, optionally substituted aryloxy- C_{1-6}alkyl amine, C_{1-6}perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C_{1-6}alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl,

optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C_{1-6}alkyl, one of R_4 is OH, C1-C6 alkoxy, -S-C_{1-6}alkyl, $COOR_6$, -NR_6R_7, -$CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)n where n =0 to 2, and N-R_1; and

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6}alkylheteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N, O, or S.

15. The process according to claim 11, wherein one of A and B is a fused bicyclic heteroaryl group having the formula:



16-C

wherein

Z_1, Z_2, Z_3 and Z_4 are independently CR_2 or N provided one of Z_1 –Z_4 is carbon and is bonded to the remainder of the molecule;

W_1, W_2 and W_3 are independently CR_4R_4, S, SO, SO_2, O, or N-R_1; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

Y_1 and Y_2 are each;

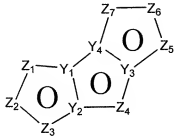
R_1 is H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C_{5-7}cyclo alkyl, optionally substituted C_{3-6}alkenyl, optionally substituted C_{3-6}alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C_{1-6}perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -(C=O)C_{1-6}alkyl, optionally substituted -C=O(C_{5-6})cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C_{1-6}alkylaryl, optionally substituted C_{1-6}alkyl heteroaryl, optionally substituted aryl- C_{1-6}alkyl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkylaryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl, optionally substituted C_{2-6}alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{3-6}alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6}alkylamino- C_{1-6}alkoxy, alkylene dioxy, optionally substituted aryloxy-C_{1-6}alkyl amine, C_{1-6}perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C_{1-6}alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

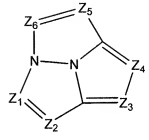
R_4 is H, optionally substituted C_{1-6}alkyl, one of R_4 is OH, C_{1-6}alkoxy, -S-C_{1-6}alkyl, $COOR_6$, -NR_6R_7, -$CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)n where n =0 to 2, and N-R_1; and

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6}alkylheteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N, O, or S.

16. The process according to claim 11, wherein one of A and B is a fused tricyclic heteroaryl group having the formula:



or



1-A **1-B**

wherein Z_1, Z_2, Z_3, Z_4, Z_5, Z_6 and Z_7 are independently CR_2, N, O, S or N-R_1 provided one of Z_1 - Z_7 is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted $C_{1\text{-}6}$alkylaryl, optionally substituted $C_{1\text{-}6}$ alkyl heteroaryl, optionally substituted aryl-$C_{1\text{-}6}$alkyl, optionally substituted heteroaryl-$C_{1\text{-}6}$alkyl, optionally substituted $C_{1\text{-}6}$alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted $C_{1\text{-}6}$alkylaryloxyaryl, optionally substituted $C_{1\text{-}6}$alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

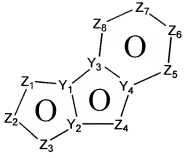
R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl, optionally substituted C_{2-6}alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C_{1-6} alkenyloxy, optionally substituted C3–C6 alkynyloxy, C_{1-6}alkylamino-C_{1-6}alkoxy, alkylenedioxy, optionally substituted aryloxy- C_{1-6}alkyl amine, C_{1-6}perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl- C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkyl aryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6}alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2;

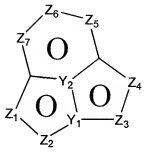
Y_1 and Y_2 may independently be C or N; with the proviso that in formula 1-A, at least one of Y_1 and Y_2 is C; and

Y_3 is C and Y_4 is C or N, or Y_4 is C and Y_3 is C or N, or Y_3 is N and Y_4 is C, or Y_3 is C and Y_4 is N.

17. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



or



2-A **2-B**

wherein Z_1, Z_2, Z_3, and Z_4 are independently CR_2, N, O, S or $N\text{-}R_1$; , Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 or N; provided one of the $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted $C_{1\text{-}6}$alkylaryl, optionally substituted $C_{1\text{-}6}$alkylheteroaryl, optionally substituted aryl-$C_{1\text{-}6}$alkyl, optionally substituted heteroaryl-$C_{1\text{-}6}$alkyl, optionally substituted $C_{1\text{-}6}$alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl,

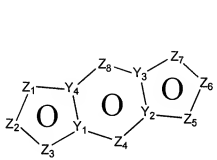
optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C_{1-6}alkylaryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C_{1-6}alkyl, optionally substituted C_{2-6}alkenyl, optionally substituted C_{2-6}alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C_{1-6}alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C_{3-6}alkynyloxy, C_{1-6}alkylamino-C_{1-6}alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C_{1-6} perfluoro alkyl, $S(O)_q$- optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C_{1-6}alkylheteroaryl, optionally substituted heteroaryl-C_{1-6}alkyl, optionally substituted C_{1-6}alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C_{1-6}alkylaryloxyaryl, optionally substituted C_{1-6}alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

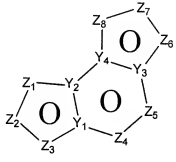
R_6 and R_7 are independently H, optionally substituted C_{1-6}alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C_{1-6}alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C_{1-6}alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

Y_1 and Y_2 are independently C or N; Y_3 and Y_4 are C; provided that in formula 2A, at least one of Y_1 and Y_2 is C; and provided that in formula 2-B, Y_2 is C, Y_1 is C or N, Y_3 is C and Y_4 is C or N, or Y_3 is N and Y_4 is C.

18. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



3-A



3-B

wherein in formula 3-A, Z_1, Z_2, Z_3, Z_5, Z_6, and Z_7 are independently CR_2, N, O, S or N-R_1; and in formula 3-A, Z_4 and Z_8 are independently CR_2 or N; in formula 3-B, Z_1, Z_2, Z_3, Z_6, Z_7, and Z_8 are independently CR_2, N, O, S or N-R_1; and in formula 3-B, Z_4 and Z_5 are independently CR_2 or N; provided one of Z_1 – Z_8 is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or

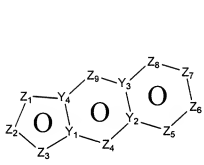
bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

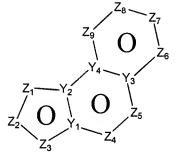
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

Y_1, Y_2 , Y_3 and Y_4 are C.

19. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:

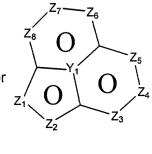


4-A



4-B

or



4-C

wherein Z_1, Z_2, and Z_3, are independently CR_2, N, O, S or N-R_1; and Z_4, Z_5 , Z_6 , Z_7, Z_8 and Z_9 are independently CR_2 or N; provided one of the Z_1 – Z_9 is a carbon atom to which the remainder of the molecule is attached; provided that in formula 4-C, Z_3 cannot be O, S or N-R_1;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally

substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

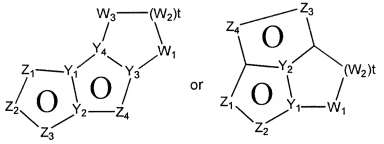
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted

heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

Y_1, Y_2, Y_3 and Y_4 are C.

20. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



5-A **5-B**

wherein Z_1, Z_2, Z_3 and Z_4 are independently CR_2, N, O, S or N-R_1 provided one of Z_1 - Z_4 is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are independently C or N; provided that in formula 5-A, at least one of Y_1 and Y_2 is C; and provided that in formula 5-B, Y_1 and Y_2 are C;

W_1, W_2 and W_3 are independently CR_4R_4, S(O)r where r is 0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted

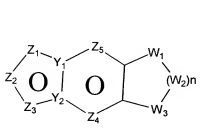
C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n = 0 to 2, $N-R_1$;

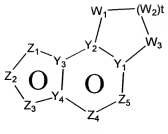
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ where n = 0-2; and

t = 1 to 3.

21. The process according to claim 11, wherein one of A and B is a tricyclic heteroaryl group having the formula:

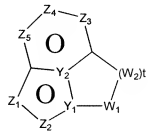


6-A



6-B

or



6-C

wherein Z_1, Z_2, Z_3, are independently CR_2,N, O, S or N-R_1; Z_4 and Z_5 are CR_2 or N; provided one of Z_1-Z_5 is a carbon atom to which the remainder of the molecule is attached; provided that in formula 6-C, Z_3 cannot be O, S or N-R_1;

Y_1 is independently C or N; provided that in formula 6-A and 6-B, Y_1 is C; Y_2, Y_3 and Y_4 are C;

W_1, W_2 and W_3 are independently CR_4R_4, S(O)r where r = 0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted

C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

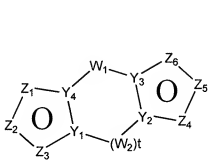
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, -NR_6R_7, -$CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n = 0 to 2, N-R_1;

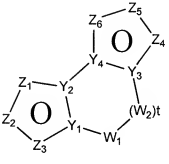
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl,

optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and t = 1 to 3.

22. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



or



7-A **7-B**

wherein Z_1, Z_2, Z_3, Z_4, Z_5 and Z_6 are independently CR_2, N, O, S, and N-R_1; provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached;

Y_1,Y_2, Y_3 and Y_4 are independently C or N; with the proviso that in formula 7-A at least one of Y_1 and Y_4 is C, and Y_3 is C and Y_4 is C or N, or Y_4 is C and Y_3 is C or N, or Y_3 is N and Y_4 is C, or Y_3 is N and Y_4 is C; and with the proviso that in formula 7-B at least one of Y_1 and Y_2 is C and at least one of Y_3 and Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , S(O)r where r = 0-2, O, N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl,

optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl

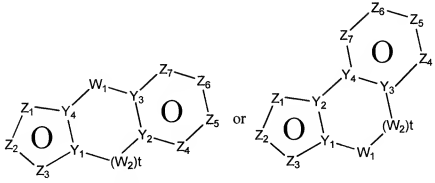
aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)n where n =0 to 2, $N\text{-}R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from $N\text{-}R_1$, O, and $S(O)_n$ where n = 0-2; and

t = 1 to 3.

23. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



8-A **8-B**

wherein

Z_1, Z_2, Z_3, are independently CR_2, N, O, S or $N\text{-}R_1$; Z_4, Z_5, Z_6 and Z_7 are independently CR_2, N; provided one of the Z_1 – Z_7 is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N; Y_2 and Y_3 are C; provided that in formula 8A at least one of Y_1 and Y_4 is C; and provided that in formula 8-B Y_4 is C;

W_1 and W_2 are independently CR_4R_4 , S(O)r, where r=0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6

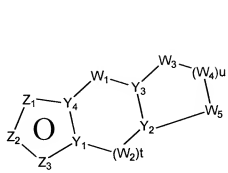
perfluoro alkyl, $S(O)_q$-optionally substituted C_{1-6}alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n =0 to 2, N-R_1;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

t = 0-3.

24. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



9-A **9-B**

wherein Z_1, Z_2 and Z_3 are independently CR_2, N, O, S or N-R_1 provided one of $Z_1 - Z_3$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N;

Y_2 and Y_3 are independently CH or N; with the proviso that in formula 9-A at least one of Y_1 and Y_4 is C; and with the proviso that in formula 9-B at least one of Y_1 and Y_2 is;

W_1, W_2, W_3, W_4 and W_5 are independently CR_4R_4, S(O)r where r=0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally

substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N\text{-}R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n =0 to 2, $N\text{-}R_1$;

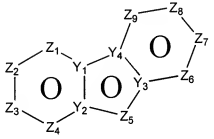
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally

substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ n = 0-2;

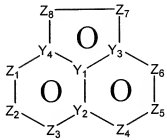
t = 0 to 2; and

u = 1 to 3.

25. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



or



10-A **10-B**

wherein

Z_1, Z_2, Z_3, Z_4, Z_5 , Z_6 , Z_7, Z_8 and Z_9 are independently CR_2, N, O, S or N-R_1 provided one of the Z_1 – Z_9 is a carbon atom to which the remainder of the molecule is attached; provided that Z_1, Z_2, Z_3, Z_4, Z_5 , Z_6 , Z_7, Z_8 and Z_9 are not O, S or N-R_1 in formula 10-A, and provided that Z_1, Z_2, Z_3, Z_4, Z_5 , Z_6 , Z_7, Z_8 are not O, S or N-R_1 in formula 10-B;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6

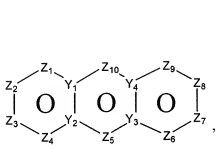
alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

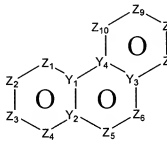
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

Y_1, Y_2 , Y_3 and Y_4 are C.

26. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:

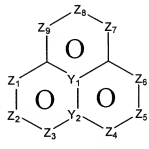


11-A



11-B

or



11-C

wherein Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9 and Z_{10} are independently CR_2 or N provided one of Z_1-Z_{10} is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally

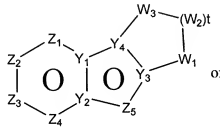
substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

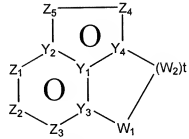
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

Y_1, Y_2, Y_3 and Y_4 are C.

27. The process according to claim 11 wherein one of A and B is tricyclic heteroaryl group having the formula:



or



12-A **12-B**

wherein Z_1, Z_2, and Z_3, are independently CR_2 or N; Z_4 and Z_5 are independently CR_2 , N, O, S or N-R_1 provided that one of $Z_1 - Z_5$ is a carbon atom to which the remainder of the molecule is attached; provided that in formula 12-A, Z_4 is not O, S or N-R_1;

Y_1, and Y_2 are C; Y_3 and Y_4 are independently C or N; provided that in formula 12-B Y_3 is C; and in formula 12-A, Y_3 is C and Y_4 is C or N, Y_4 is C and Y_3 is C or N, or Y_3 is N and Y_4 is C, or Y_3 is C and Y_4 is N;

W_1, W_2, W_3 are independently CR_4R_4 O, N-R_1, or $S=(O)_r$ where r = 0-2 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom

which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted

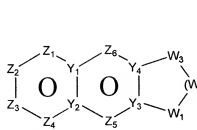
heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n = 0 to 2, $N-R_1$;

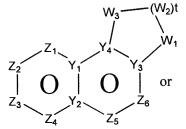
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ where n = 0-2; and

t =1-4.

28. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:

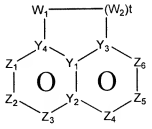


13-A



13-B

or



13-C

wherein Z_1, Z_2, Z_3, Z_4, Z_5 and Z_6 are independently CR_2 or N provided one of Z_1-Z_6 is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2, Y_3 and Y_4 are C;

W_1, W_2 and W_3 are independently CR_4R_4 , S(O)r where r is 0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally

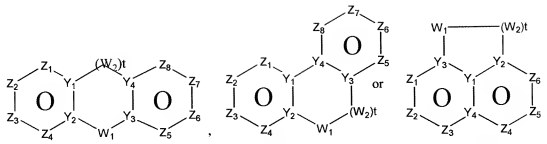
substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n =0 to 2, or N-R_1;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2; and

t = 1 to 3.

29. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:



14-A , 14-B or 14-C

wherein Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7 and Z_8 are independently CR_2 or N provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, Y_2 , Y_3 and Y_4 are C;

W_1, and W_2 are independently CR_4R_4 , S(O)r where r = 0–2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -$S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -$CONR_6R_7$, -$SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines,

optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N\text{-}R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

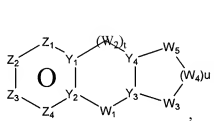
R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n =0 to 2, $N\text{-}R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition

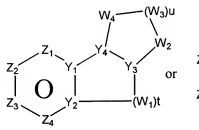
to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and S(O)$_n$ where n = 0-2; and

t = 1 to 2.

30. The process according to claim 11 wherein one of A and B is a tricyclic heteroaryl group having the formula:

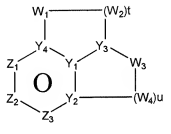


15-A



15-B

or



15-C

wherein Z_1, Z_2, Z_3 and Z_4 are independently CR_2 or N provided one of $Z_1 - Z_4$ is a carbon atom to which the remainder of the molecule is attached;

Y_1, and Y_2 are C; Y_3 and Y_4 are independently C or N; provided that in formula 15-C Y_4 is C;

W_1, W_2, W_3, W_4 and W_5 are independently CR_4R_4, S(O)r where r = 0-2, O, or N-R_1 with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cyclo-alkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)$_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR$_6$R$_7$, -SO$_2$NR$_6$R$_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-

alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R_6R_7, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3–C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$-optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

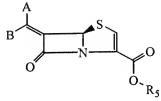
R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R4 are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, $COOR_6$, -NR_6R_7, -$CONR_6R_7$; or R_4R_4 may together be =O or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S(O)n where n =0 to 2, or N-R_1;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S(O)_n$ where n = 0-2;

t = 1 to 3; and

u = 1 to 3.

32. A process for the preparation of compound of formula **I**



I

wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R_2, heteroaryl optionally substituted with one or two R_2, a fused bicyclic heteroaryl optionally substituted with one or two R_2, fused tricyclic heteroaryl optionally substituted with one or two R_2, cycloalkyl optionally substituted with one or two R_2, alkyl optionally substituted with one or two R_2, alkenyl optionally substituted with one or two R_2, alkynyl optionally substituted with one or two R_2, saturated or partially saturated heteroaryl optionally substituted with one or two R_2;

R_5 is H, C_{1-6} alkyl, C_{5-6} cycloalkyl, or CHR_3OCOC_{1-6} alkyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple

bonds, halogen, cyano, N-R_6R_7, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$- optionally substituted C1-C6 alkyl, $S(O)_q$- optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R_3 is hydrogen, C1-C6 alkyl, C3 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R_6 and R_7 together with the N to which they are attached, may form a 3-7 membered saturated ring system said ring system in addition to the N to which R_6 and R_7 are attached optionally having one or two heteroatoms selected from N-R_1, O, and $S=(O)_n$ where n = 0-2;

which process comprises the following steps:

(a) dissolving 6-aminopenicillanic acid in an organic solvent and water to form in the

(b) presence of hydrobromic acid and sodium or potassium nitrite solution to form the 6-bromo derivative **21** and converting the 6-bromopenicillanic acid **21** derivative to the p-Nitrobenzyl 6-brompenicillanate **22** using 4-nitrobenzylbromide in the presence of base in an organic solvent;

(b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate **22** to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide **23**

(c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide **23** with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-yldithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate **24**

(d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-yldithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate **24** in an organic solvent and reacting with an organic tertiary amine base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-yldithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate **25**

(e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-yldithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate **25** to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate **26** by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/organic tertiary amine base and trialkyl or triaryl phosphine at about -10° C to -30° C;

(f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate **26** being taken up in an organic solvent at -70°C to -90° C and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate **16**;

(g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate **16** to the desired formula **I** product as described in claim 9.

33. The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.

34. The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid and sodium or potassium nitrite solution.

35. The process according to claim 34 wherein step (a) is performed at -10° C to -30°C.

36. The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.

37. The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.

38. The process according to claim 32 comprising the sequential conversion of compound **23** to **26** wherein there is no isolation of the intermediates.

39. The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide **23** is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about 0 to -20° C to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary amine base and a trialkyl or triaryl phosphate sequentially at about -10°C to -40°C.

40. (Original) The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.

X. EVIDENCE APPENDIX

None

XI. RELATED PROCEEDING APPENDIX

None